

pending in this application.

The amendments to the claims do not introduce new matter within the meaning of 35 U.S.C. §132. Accordingly, the Examiner is respectfully requested to enter the above amendment before examination.

If the Examiner has any questions regarding this submission, she is invited to telephone the undersigned attorney.

Respectfully submitted,
NATH & ASSOCIATES PLLC

By: 

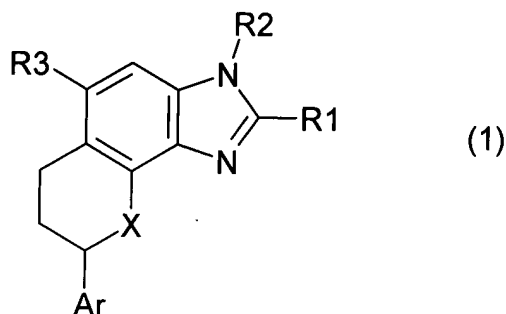
Gary M. Nath
Registration No. 26,965
Sheldon M. McGee
Registration No. 50,454
Customer No. 34375

Date: September 27, 2005
NATH & ASSOCIATES PLLC
1030 15th Street NW, 6th Floor
Washington, D.C. 20005-1503
(202)-775-8383
GMN/SMM\PA.doc

Appendix A

Claim Amendments

1. (Currently amended) ~~Compounds~~ A compound of formula 1,



in which

- R1 is hydrogen, halogen, hydroxyl, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl, hydroxy-1-4C-alkyl or mono- or di-1-4C-alkylamino,
- R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, aryl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxycarbonyl, mono- or di-1-4C-alkylamino-1-4C-alkylcarbonyl, hydroxy-1-4C-alkyl, fluoro-2-4C-alkyl,
- R3 is hydrogen, halogen, fluoro-1-4C-alkyl, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-

alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonyl-N-1-4C-alkylamino, 1-4C-alkoxy-1-4C-alkylcarbonylamino or the group -CO-NR³¹R³²,

where

R³¹ is hydrogen, hydroxyl, 1-7C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R³² is hydrogen, 1-7C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R³¹ and R³² together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

X is O (oxygen) or NH and

Ar is a mono- or bicyclic aromatic residue, substituted by R⁴, R⁵, R⁶ and R⁷, which is selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R5 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

R6 is hydrogen, 1-4C-alkyl or halogen and

R7 is hydrogen, 1-4C-alkyl or halogen,

and wherein

aryl is phenyl or substituted phenyl with one, two or three same or different substituents from the group of 1-4C-alkyl, 1-4C-alkoxy, carboxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxy and cyano,

and the salts of these compounds or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

2. (Currently amended) ~~Compounds~~ A compound of formula 1 according to claim 1,

in which

R1 is hydrogen, halogen, hydroxyl, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl, hydroxy-1-4C-alkyl or mono- or di-1-4C-alkylamino,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, aryl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxycarbonyl, mono- or di-1-4C-alkylamino-1-4C-alkylcarbonyl, hydroxy-1-4C-alkyl, fluoro-2-4C-alkyl,

R3 is hydrogen, halogen, fluoro-1-4C-alkyl, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR₃₁R₃₂,

where

R₃₁ is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R₃₂ is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,
X is O (oxygen) or NH and

Ar is a mono- or bicyclic aromatic residue, substituted by R4, R5, R6 and R7, which is selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R5 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

R6 is hydrogen, 1-4C-alkyl or halogen and

R7 is hydrogen, 1-4C-alkyl or halogen,

and wherein

aryl is phenyl or substituted phenyl with one, two or three same or different substituents from the group of 1-4C-alkyl, 1-4C-alkoxy, carboxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxy and cyano,

~~and the salts of these compounds~~ or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

3. (Currently amended) ~~Compounds~~ A compound of formula 1 according to claim 1,

in which

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, halogen, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR₃₁R₃₂,

where

R31 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

X is O (oxygen) or NH and

Ar is a phenyl group, substituted by R4, R5, R6 and R7,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

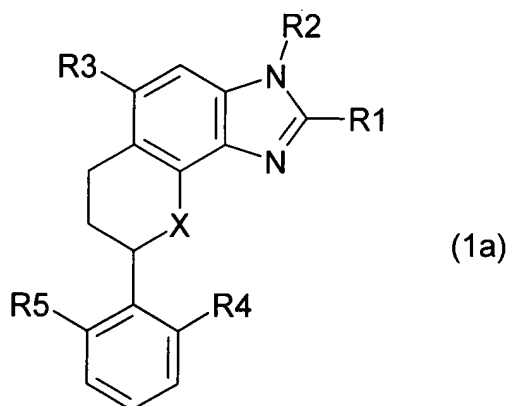
R5 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

R6 is hydrogen, 1-4C-alkyl or halogen and

R7 is hydrogen, 1-4C-alkyl or halogen,

~~and the salts of these compounds~~ or a hydrate, solvate,
salt, hydrate of a salt or solvate of a salt thereof.

4. (Currently amended) ~~Compounds of formula 1~~ A compound
according to claim 1, characterized by the formula 1a,



in which

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, halogen, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, trifluoromethyl, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino or 1-4C-alkoxy-1-4C-alkoxycarbonylamino,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy and

X is O (oxygen) or NH,

and the salts of these compounds or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

5. (Currently amended) ~~Compounds~~ A compound of formula 1a according to claim 4,

in which

R1 is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, carboxyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-

alkylcarbonyl-N-1-4C-alkylamino or the group -CO-
NR₃₁R₃₂,

where

R₃₁ is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-
alkoxy-1-4C-alkyl and

R₃₂ is hydrogen or 1-4C-alkyl,

or where

R₃₁ and R₃₂ together, including the nitrogen atom to
which both are bonded, are a pyrrolidino, aziridino,
azetidino or morpholino group,

R₄ is hydrogen,

R₅ is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds or a hydrate, solvate,
salt, hydrate of a salt or solvate of a salt thereof.

6. (Currently amended) ~~Compounds~~ A compound of formula 1a
according to claim 4,

in which

R₁ is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R₂ is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R₃ is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-
4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-

alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group
-CO-NR₃₁R₃₂,

where

R₃₁ is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-
alkoxy-1-4C-alkyl and

R₃₂ is hydrogen or 1-4C-alkyl,

or where

R₃₁ and R₃₂ together, including the nitrogen atom to
which both are bonded, are a pyrrolidino,
hydroxypyrrolidino, aziridino, azetidino or morpholino
group,

R₄ is hydrogen,

R₅ is hydrogen and

X is O (oxygen) or NH,

~~and the salts of these compounds~~ or a hydrate, solvate,
salt, hydrate of a salt or solvate of a salt thereof.

7. (Currently amended) ~~Compounds~~ A compound of the formula
1a according to claim 4,

in which

R₁ is 1-4C-alkyl,

R₂ is 1-4C-alkyl,

R3 is hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-2-4C-alkyl or 1-4C-alkoxy-2-4C-alkyl and

R32 is hydrogen,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino[[,]] group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

~~and the salts of these compounds~~ or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

8. (Currently amended) ~~Compounds~~ A compound of formula 1a according to claim 4,

in which

R1 is 1-4C-alkyl or fluoro-1-4C-alkyl,

R2 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-2-4C-alkyl or 1-4C-alkoxy-2-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino or morpholino group,

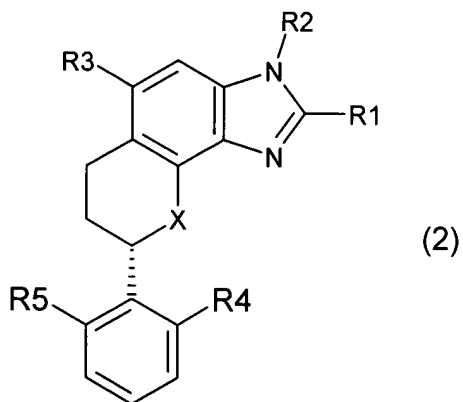
R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

9. (Currently amended) ~~Compounds of formula 1~~ A compound according to claim 1, characterized by the formula 2,



in which

R1 is 1-4C-alkyl or 3-7C-cycloalkyl,

R2 is hydrogen or 1-4C-alkyl,

R3 is 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-
1-4C-alkyl or the group -CO-NR₃₁R₃₂,

where

R₃₁ is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-
alkoxy-1-4C-alkyl and

R₃₂ is hydrogen or 1-4C-alkyl,

or where

R₃₁ and R₃₂ together, including the nitrogen atom to
which both are bonded, are a pyrrolidino, aziridino,
azetidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

~~and the salts of these compounds~~ or a hydrate, solvate,
salt, hydrate of a salt or solvate of a salt thereof.

10. (Currently amended) ~~Compounds~~ A compound of formula 2
according to claim 9,

in which

R1 is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,
R2 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,
R3 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR₃₁R₃₂,

where

R₃₁ is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R₃₂ is hydrogen or 1-4C-alkyl,

or where

R₃₁ and R₃₂ together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino or morpholino group,

R₄ is hydrogen,

R₅ is hydrogen and

X is O (oxygen) or NH,

~~and the salts of these compounds~~ or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

11. (Currently amended) A ~~medicament~~ pharmaceutical composition comprising a compound as claimed in claim 1

and/or a pharmacologically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof together with a pharmaceutically acceptable auxiliary and/or excipient ~~customary pharmaceutical auxiliaries and/or excipients.~~

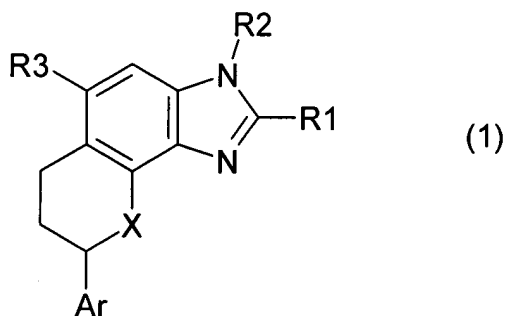
12. (Canceled)

13. (New) A method of preventing or treating a gastrointestinal disorder in a patient comprising administering to a patient in need thereof a therapeutically effective amount of a compound as claimed in claim 1 or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

Appendix B

Abstract of the Disclosure

The invention relates to cyclic benzimidazoles of formula 1,



in which the substituents and symbols have the meanings indicated in the description. The compounds have gastric secretion inhibiting and excellent gastric and intestinal protective action properties.